Art Unit 125

Paper No. 25

Appeal No. 89-2082

svt

HEARD:
October 1, 1990

UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE BOARD OF PATENT APPEALS
AND INTERFERENCES

Ex parte Nicholas S. Bodor

Application for Patent filed December 9, 1985, Serial No. 807,034; a Continuation of Serial No. 626,535 filed June 29, 1984; a Continuation of Serial No. 418,458 filed September 15, 1982; a Continuation-in-Part of Serial No. 265,785 filed May 21, 1981, Abandoned; a Continuation-in-Part of Serial No. 168,453 filed July 10, 1980, Abandoned. Soft Steroids Having Anti-Inflammatory Activity.

Teresa Stanek Rea et al. for appellant.

Primary Examiner - Douglas W. Robinson.
Examiner - J. Lipovsky.

Before Goldstein, Tarring and W. Smith, Examiners-in-Chief.

Goldstein, Examiner-in-Chief.

This appeal is from the examiner's final rejection of claims 1 to 45, 56 to 63 and 65 to 117. Claim 118 has been allowed, and claims 46 to 51 have been indicated as being drawn

to allowable subject matter. A copy of appealed claim 1 is appended to this opinion.

References relied on by the examiner on appeal are:

Sarrett et al. (Sarrett)	3,558,675	Jan. 26, 1971
Phillips et al. (Phillips '828)	3,856,828	Dec. 24, 1975
Phillips et al. (Phillips '721)	4,093,721	June 6, 1978
Edwards	4,263,289	Apr. 21, 1981

All of the appealed claims have been finally rejected under 35 U.S.C. 103 as being unpatentable over the combined teachings of the four references cited above. We shall not affirm this rejection.

We agree substantially with the position set forth by appellants in their brief on appeal and, in reversing the examiner's rejection, we are essentially adopting that position as our own.

Sarrett discloses a broad class of pregnanes having a carbonate substituent at the 17 position. The reference discloses that the compounds "possess progestational activity and are valuable as esterus regulating agents" (see the Abstract). We do not find in the Sarrett disclosure a teaching that the compounds have "high activities" as asserted in the examiner's answer. In fact, we find nothing in the reference to indicate any advantage of the disclosed carbonates over analogous carboxylic acid esters.

The three remaining references, as asserted by appellants, disclose androstanes. There is no generic teaching in any of the references to bridge the gap between pregnanes and androstanes, and the examiner has provided no explanation based on logic and sound scientific reasoning to make up for the lack of such a teaching in the references. Thus, to whatever extent the references may be considered some evidence of obviousness, the evidence of record regarding the improved therapeutic index of the androstane carbonates relative to the androstane carboxylate esters, albeit drawn from a fairly limited number of comparisons, is adequate to outweigh that evidence of obviousness.

The decision of the examiner is reversed.

REVERSED

Melvin Goldstein)
Examiner-in-Chief)
)
)
Henry W. Tarring, II) BOARD OF PATENT
Examiner-in-Chief) APPEALS
) AND
) INTERFERENCES
)
William F. Smith)
Examiner-in-Chief)

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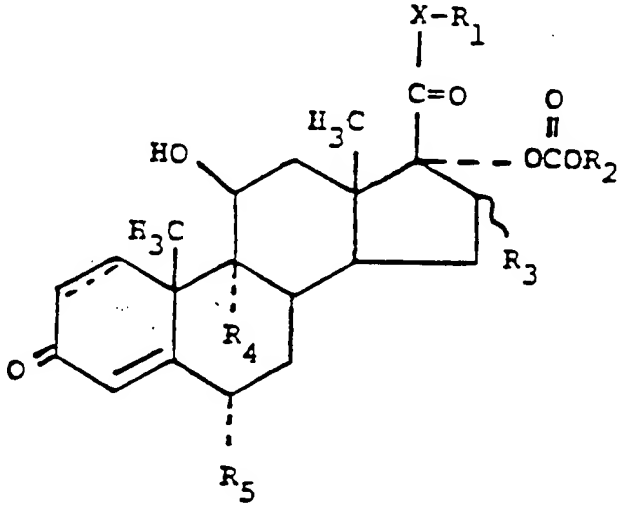
1. A compound selected from the group consisting of:

(a) a compound of the formula



(I)

wherein:

R_1 is C_1-C_{10} alkyl; C_2-C_{10} (monohydroxy or polyhydroxy)alkyl; C_1-C_{10} (monohalo or polyhalo)alkyl; or $-CH_2COOR_6$ wherein R_6 is unsubstituted or substituted C_1-C_{10} alkyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkenyl or C_2-C_{10} alkenyl, the substituents being selected from the group consisting of halo, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, $-NHC(=O)-(C_1-C_{10}$ alkyl) and $-OC(=O)-(C_1-C_{10}$ alkyl), or R_6 is unsubstituted or substituted phenyl or benzyl, the substituents being selected from the group consisting of lower alkyl, lower alkoxy, halo, carbamoyl, lower alkoxycarbonyl, lower alkanoyloxy, lower haloalkyl, mono(lower alkyl)amino, di(lower alkyl)amino, mono(lower alkyl)carbamoyl, di(lower alkyl)carbamoyl,

lower alkylthio, lower alkylsulfinyl and lower alkylsulfonyl; or R_1 is $-CH_2CONR_7R_8$ wherein R_7 and R_8, which can be the same or different, are each hydrogen, lower alkyl, C_3-C_8 cycloalkyl, phenyl or benzyl, or R_7 and R_8 are combined such that $-NR_7R_8$ represents the residue of a saturated monocyclic secondary amine; or R_1 is unsubstituted or substituted phenyl or benzyl, the substituents being selected from the group of phenyl and benzyl substituents defined hereinabove with respect to R_6; or R_1 is $-\underset{R_9}{CH}-Y-$(lower alkyl) wherein Y is -S-, -SO-, $-SO_2-$ or -O- and R_9 is hydrogen, lower alkyl or phenyl, or R_9 and the lower alkyl group adjacent to Y are combined so that R_1 is a cyclic system of the type $-\underset{\text{alkylene}}{CH}-Y-$ wherein Y is defined as above and the alkylene group contains 3 to 10 carbon atoms, of which at least 3 and no more than 6 are ring atoms; or R_1 is $-\underset{R_{10}}{CH}-O\overset{O}{\overset{\|}{C}}R_6$ wherein R_6 is defined as hereinabove and R_{10} is hydrogen, lower alkyl, phenyl or halophenyl;

R_2 is unsubstituted or substituted C_1-C_{10} alkyl, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkenyl or C_2-C_{10} alkenyl, the substituents being selected from the group consisting of halo, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, $-NH\overset{O}{\overset{\|}{C}}-$($C_1$-$C_{10}$ alkyl) and $-O\overset{O}{\overset{\|}{C}}-$($C_1$-$C_{10}$ alkyl), or R_2 is unsubstituted or substituted phenyl or benzyl, the substituents being selected from the group consisting of lower alkyl, lower alkoxy, halo, carbamoyl, lower alkoxycarbonyl, lower alkanoyloxy, lower haloalkyl, mono(lower alkyl)amino, di(lower alkyl)amino, mono(lower alkyl)carbamoyl, di(lower alkyl)carbamoyl, lower alkylthio, lower alkylsulfinyl and lower alkylsulfonyl;

R_3 is hydrogen, α-hydroxy, β-hydroxy, α-methyl, β-methyl, $=CH_2$, or α- or β-$OCOR_2$ wherein R_2 is identical to R_2 as defined hereinabove;

R_4 is hydrogen, fluoro or chloro;

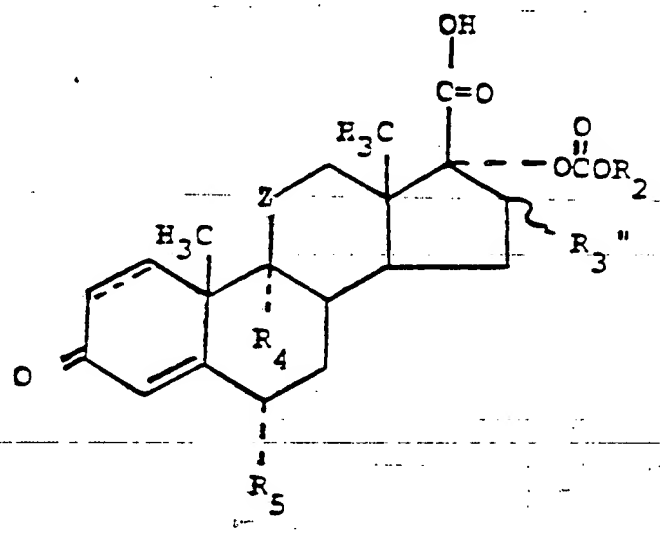
R_5 is hydrogen, fluoro, chloro or methyl;

X is -O- or -S-;

and the dotted line in ring A indicates that the 1,2 linkage is saturated or unsaturated;

(b) a quaternary ammonium salt of a compound of formula (I) wherein at least one of R_1 and R_2 is a halo-substituted alkyl group;

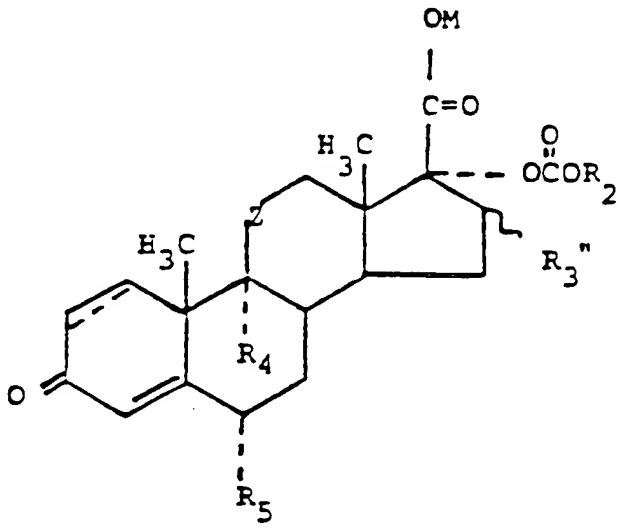
(c) a compound of the formula



(III)

wherein R_2, R_4, R_5, and the dotted line in ring A are as defined in (a) above, Z is carbonyl or β-hydroxymethylene and R_3" is hydrogen, α-methyl, β-methyl, $=CH_2$ or α- or β-$OCOR_2$ wherein R_2 is identical to R_2 above;

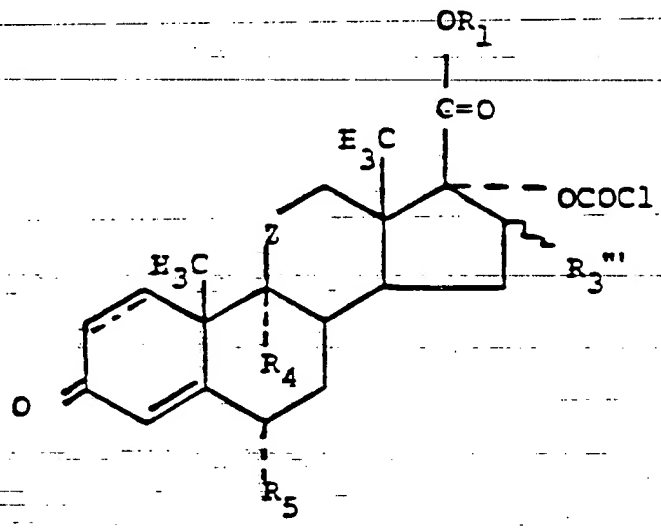
(d) a compound of the formula



(IV)

wherein M is alkali metal, thallium, alkaline earth metal/2 or NH_4 and R_2, $R_3"$, R_4, R_5, Z and the dotted line in ring A are as defined in (a) and (c) above;

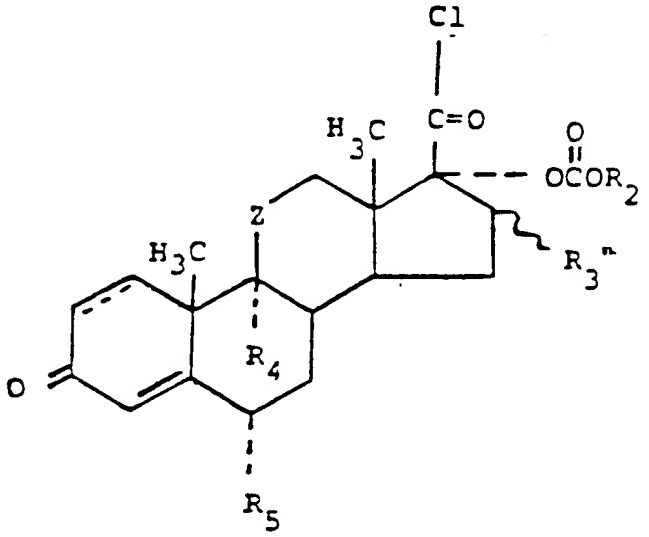
(e) a compound of the formula



(VII)

wherein R_3"' is hydrogen, α-methyl, β-methyl, α-OCOCl or β-OCOCl, and R_1, R_4, R_5, Z and the dotted line in ring A are as defined in (a) and (c) above;

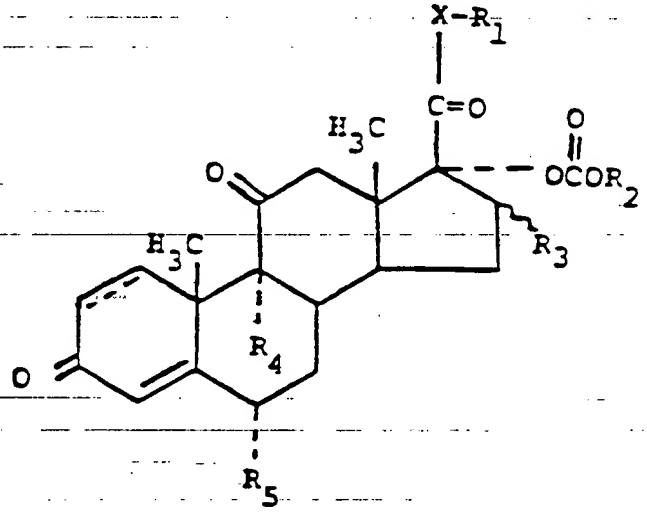
(f) a compound of the formula



(VIII)

wherein R_2, R_3", R_4, R_5, Z and the dotted line in ring A are as defined in (a) and (c) above; and

(g) a compound of the formula



(IX)

wherein R_1, R_2, R_3, R_4, R_5, X and the dotted line in ring A are as defined in (a) above.